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Tsukada, Hidetaka

Laboratory of Pesticide Chemistry, Division of Bioresource and Bioenvironmental Sciences,
Graduate School, Kyushu University

Itamura, Tomoaki

Laboratory of Pesticide Chemistry, Division of Bioresource and Bioenvironmental Sciences,
Graduate School, Kyushu University

Ishii, Rika

Laboratory of Pesticide Chemistry, Division of Bioresource and Bioenvironmental Sciences,
Graduate School, Kyushu University

Taniguchi, Eiji

Laboratory of Pesticide Chemistry, Division of Bioresource and Bioenvironmental Sciences,
Graduate School, Kyushu University

他

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Synthesis and Lateral Root-Inducing Activity of *N*-Benzyl-3-Substituted-2-Piperidones

Hidetaka Tsukada, Tomoaki Itamura, Rika Ishii, Eiji Taniguchi
and Eiichi Kuwano

Laboratory of Pesticide Chemistry, Division of Bioresource and Bioenvironmental Sciences,
Graduate School, Kyushu University, Fukuoka 812-8581, Japan

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Thirty *N*-benzyl-3-substituted-2-piperidones were synthesized, and their plant growth regulatory activity was evaluated by using a lettuce seedling test. Most of the compounds at 100 ppm caused lateral root formation. Of the series of compounds tested, *N*-benzyl-3-[1-hydroxy-1-(4-quinolyl)methyl]-2-piperidone (**30**) showed the highest activity. When 1 ppm of compound **30** was supplied to seedlings, 29% of the primary roots formed at least one lateral root.

INTRODUCTION

Plant growth regulators with auxin transport inhibitory activity have been well described, *e.g.*, *N*-(naphth-1-yl)-phthalamic acid (NPA), 2,3,5-triodobenzoic acid (TIBA) and 3,3a-dihydro-2-(*p*-methoxyphenyl)-8H-pyrazolo[5,1-*a*]isoindol-8-one (DPX 1840) (Katekar and Geissler, 1980). A pyrazoisquinoline derivative, 2-(4'-fluorophenyl)-5H-pyrazolo[5,1-*a*]isoquinolin-5-one (FPIQ), which was synthesized in our laboratory, inhibits auxin transport in plants and retards stem growth as well (Watanabe and Taniguchi, 1986). As part of a program aimed at discovering new series of plant growth regulators which manipulate plant differentiation and development, an attempt was made to synthesize 2-piperidone derivatives with a partial skeleton of FPIQ and to evaluate their biological activity. In the present paper we wish to report the discovery of a new class of plant growth regulator, *N*-benzyl-3-substituted-2-piperidones, which induce lateral root formation of lettuce seedlings and to discuss their structure-activity relationships.

MATERIALS AND METHODS

Synthesis

¹H-NMR spectra were recorded on JNM-GX400 spectrometer with tetramethylsilane in CDCl₃ as an internal standard. Gravity column chromatography was carried out with Merck kieselgel 60 F254 (0.063–0.200 mm, 70–230 mesh ASTM) and Wakogel C-300 (45–75 mm).

All *N*-benzyl-3-substituted-2-piperidones were prepared by the method as shown in Fig. 1. The following procedure is typical.

N-benzyl-2-piperidone (**I**)

To a solution of 2-piperidone (15 g, 0.15 mol) in tetrahydrofuran (THF, 500 ml) was

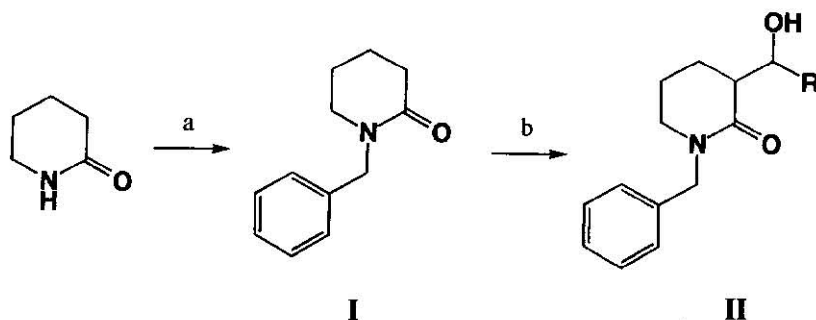


Fig. 1. Synthetic scheme for preparation of *N*-benzyl-3-substituted-2-piperidones
Reagents and conditions: (a) benzyl bromide, NaH, THF, 0°C; (b) lithium diisopropylamide (LDA), RCHO, THF, -78°C.

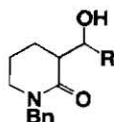
added NaH (12 g, 0.30 mol, 60% in oil, washed with hexane) at 0°C. After stirring for 1 hr at room temperature, to the reaction mixture was added benzyl bromide (18.7 ml, 0.23 mol). After stirring for 24 hr at room temperature, to the mixture was added water (100 ml) and ether (500 ml). The organic layer was separated, washed with water, brine, and dried (Na₂SO₄). Concentration followed by column chromatography (silica gel, ethyl acetate) gave pure *N*-benzyl-2-piperidone (28 g, 99%). ¹H-NMR δ: 1.75–1.79 (m, 4H, 4-H, 5-H), 2.46 (t, 1H, J=6.3, 3-H), 3.18 (t, 2H, J=6.3, 6-H), 4.59 (s, 2H, -CH₂-Ph), 7.24–7.33 (m, 5H, Ph-H). Anal. Found: C, 75.72; H, 8.03; N, 7.40%. Calcd. for C₁₂H₁₅NO: C, 76.16; H, 7.99; N, 7.40%.

N-benzyl-3-substituted-2-piperidones (II)

To a solution of diisopropylamine (1.1 ml, 7.92 mmol) in THF (100 ml) was added *n*-butyllithium (4.95 ml, 1.6 M solution in hexane) at -78°C under nitrogen gas. After stirring for 15 minutes, a solution of *N*-benzyl-2-piperidone (1 g, 5.28 mmol) in THF (2 ml) was added dropwise, and then the mixture was stirred at -78°C for 30 minutes. A solution of aldehyde (6.34 mmol) in THF (2 ml) was added at -78°C. After stirring at -78°C for 1 hr, to the mixture was added saturated aqueous NH₄Cl solution (50 ml) and ethyl acetate (100 ml). The organic layer was separated, washed with brine, and dried (Na₂SO₄). Concentration followed by column chromatography (silica gel, 25% ethyl acetate in hexane) gave *N*-benzyl-3-substituted-2-piperidone which contained both *erythro* and *threo* isomers. The structures, yields and NMR data of all synthesized compounds are shown in Table 1.

Lettuce seedling test

Onto a sheet of filter paper placed on the bottom of a Petri dish of 9 cm in diameter was poured 1 ml of acetone solution of the test compounds. After evaporation of the solvent, 5 ml of deionized water was poured into dishes, and 20 seeds of the lettuce (*Lactuca sativa* L. c.v. Sacramento) were placed in it. Plants were maintained under the following environmental conditions: temperature, 25 ± 1°C; relative humidity, 60 ± 5%; 12 hr photo period; photosynthetically available radiation, 80 μmol • m⁻² • s⁻¹ (white

Table 1. The structures, yields and ¹H-NMR data of *N*-benzyl-3-substituted-2-piperidones

No.	R	yield (%)	¹ H-NMR (400 MHz, CDCl ₃) δ
1	isopropyl	62	0.90 (d, 3H, J=6.8), 1.07 (d, 3H, J=6.8), 1.38–1.47 (m, 1H), 1.63–2.19 (m, 4H), 2.35–2.42 (m, 1H), 3.21–3.24 (m, 2H), 3.63 (d, 1H, J=9.3), 4.53 (d, 1H, J=14.7), 4.64 (d, 1H, J=14.7), 5.83 (s, 1H), 7.22–7.46 (m, 5H)
2	isopentyl	64	0.92–0.96 (m, 6H), 1.22–1.58 (m, 6H), 1.67–1.76 (m, 2H), 1.63–2.19 (m, 2H), 2.46–2.51 (m, 1H), 3.21–3.24 (m, 2H), 3.83 (d, 1H, J=9.3), 4.47 (d, 1H, J=14.7), 4.64 (d, 1H, J=14.7), 5.72 (s, 1H), 7.23–7.35 (m, 5H)
3	hexyl	60	0.88–0.89 (m, 4H), 1.23–2.03 (m, 13H), 2.30–2.36 (m, 1H), 3.20–3.22 (m, 2H), 4.01–4.08 (m, 1H), 4.50–4.66 (m, 2H), 5.83 (s, 1H), 7.22–7.34 (m, 5H)
4	cyclohexyl	74	1.12–1.94 (m, 15H), 2.42–2.45 (m, 1H), 3.21–3.24 (m, 2H), 3.59 (d, 1H, J=9.3), 4.54 (d, 1H, J=14.7), 4.63 (d, 1H, J=14.7), 5.69 (s, 1H), 7.22–7.36 (m, 5H)
5	phenyl	80	1.25–1.30 (m, 1H), 1.53–1.75 (m, 3H), 2.03 (s, 1H), 2.80–2.85 (m, 1H), 3.03–3.12 (m, 1H), 3.19–3.21 (m, 1H), 4.50 (d, 1H, J=14.6), 4.70 (d, 1H, J=14.6), 5.30 (d.d, 1H, J=3.42, 6.53), 7.16–7.40 (m, 10H)
6	1-naphthyl	83	1.22–1.28 (m, 1H), 1.43–1.53 (m, 2H), 1.58–1.62 (m, 1H), 2.85–2.40 (m, 1H), 3.13–3.65 (m, 2H), 4.49–4.80 (m, 2H), 5.49 (d, 1H, J=9.3), 6.52 (br.s, 1H), 7.22–7.37 (m, 5H), 7.39–7.51 (m, 5H), 8.09 (d, 2H, J=8.3), 8.44 (d, 1H, J=7.8)
7	2-naphthyl	79	1.22–1.26 (m, 1H), 1.59–1.65 (m, 3H), 2.89–3.16 (m, 3H), 4.42–4.73 (m, 2H), 5.03 (br.s, 1H), 5.48 (s, 1H), 7.13–7.33 (m, 5H), 7.35–7.46 (m, 5H), 7.75–7.84 (m, 3H)
8	<i>t</i> -cinnamyl	87	1.56–1.95 (m, 4H), 2.82–2.88 (m, 1H), 3.14–3.25 (m, 2H), 4.31–5.07 (m, 3H), 6.52 (s, 1H), 6.16–6.28 (m, 1H), 6.63–6.69 (m, 2H), 7.20–7.52 (m, 10H)
9	2-tolyl	75	1.24–1.35 (m), 1.50–1.82 (m, 4H), 2.35 (s, 3H), 2.65–2.72 (m, 1H), 3.18–3.43 (m, 2H), 4.45–4.83 (m, 2H), 5.09 (d, 0.5H, J=9.8), 5.81 (d.d, 0.5H, J=3.9, 7.8), 6.42 (s, 1H), 7.11–7.55 (m, 9H)
10	3-tolyl	70	1.60–1.73 (m, 4H), 2.34 (s, 3H), 2.81–2.85 (m, 1H), 3.07–3.13 (m, 2H), 4.46 (d, 1H, J=14.7), 4.59 (d, 1H, J=5.8), 4.74 (d, 1H, J=14.7), 5.27 (d.d, 1H, J=3.4, 5.9), 7.06–7.31 (m, 9H)

11	4-tolyl	77	1.24–1.31 (m, 1H), 1.59–1.75 (m, 3H), 2.34 (s, 3H), 2.53–2.86 (m, 1H), 3.06–3.23 (m, 2H), 4.46–4.77 (m, 3H), 5.23 (d.d, 1H, J=3.9, 6.8), 7.12–7.37 (m, 9H)
12	2-methoxy-phenyl	88	1.61–1.76 (m, 4H), 2.82–2.85 (m, 1H), 3.08–3.12 (m, 2H), 3.79 (s, 3H), 4.50 (d, 1H, J=13.5), 4.57 (br.s, 1H), 4.70 (d, 1H, J=13.5), 5.30 (s, 1H), 6.79–6.82 (m, 1H), 6.92–6.96 (m, 1H), 7.17–7.24 (m, 2H), 7.25–7.32 (m, 5H)
13	3-methoxy-phenyl	85	1.19–1.29 (m, 2H), 1.49–1.56 (m, 2H), 2.49–2.56 (m, 1H), 3.13–3.16 (m, 2H), 3.74 (s, 3H), 4.50 (d, 1H, J=14.7), 4.64 (d, 1H, J=14.7), 4.73 (d, 1H, J=9.3), 4.88 (br.s, 1H), 6.75–6.80 (m, 1H), 6.89–6.96 (m, 2H), 7.14–7.32 (m, 6H)
14	4-methoxy-phenyl	80	1.22–1.33 (m, 1H), 1.57–1.99 (m, 3H), 2.02 (br.s, 0.5H), 2.50–2.82 (m, 1H), 3.03–3.20 (m, 2.5H), 3.78 (s, 3H), 4.42–4.73 (m, 2H), 5.00 (d, 0.5H, J=6.4), 5.19 (d, 0.5H, J=3.9), 6.83–6.88 (m, 2H), 7.15–7.17 (m, 2H), 7.21–7.33 (m, 5H)
15	2,4-dimethoxy-phenyl	65	1.62–1.76 (m, 4H), 2.91–2.96 (m, 1H), 3.15–3.16 (m, 2H), 3.80 (s, 3H), 3.82 (s, 3H), 4.06 (d, 1H, J=5.9), 4.56 (d, 1H, J=14.7), 4.70 (d, 1H, J=14.7), 5.70 (d.d, 1H, J=3.4, 5.9), 6.44–6.53 (m, 2H), 7.22–7.42 (m, 6H)
16	4-phenoxy-phenyl	87	1.28–1.37 (m, 1H), 1.59–1.81 (m, 3H), 2.53–2.89 (m, 1H), 3.05–3.37 (m, 2H), 4.69–4.86 (m, 3H), 5.21 (d.d, 1H, J=3.9, 6.8), 6.94–7.38 (m, 14H)
17	3,4-methylenedioxyphenyl	83	1.23–1.30 (m, 1H), 1.32–1.77 (m, 3H), 2.47–2.82 (m, 1H), 3.04–3.26 (m, 2H), 4.44–4.75 (m, 2.5H), 4.90 (d, 0.5H, J=6.4), 5.15 (d, 0.5H, J=3.9), 5.93 (s, 2H), 6.49 (s, 0.5H), 6.72–6.82 (m, 1H), 6.90 (d, 1H, J=11.2), 7.18–7.36 (m, 6H)
18	2-chloro-phenyl	76	1.31–1.77 (m, 4H), 2.92–2.97 (m, 1H), 3.12–3.23 (m, 2H), 4.48–4.61 (m, 3H), 5.38 (d, 0.25H, J=9.3), 5.93 (d.d, 0.75H, J=3.4, 4.9), 7.14–7.34 (m, 8H), 7.63–7.67 (m, 1H)
19	3-chloro-phenyl	75	1.26–1.31 (m, 1H), 1.46–1.73 (m, 3H), 2.49–2.75 (m, 1H), 3.07–3.20 (m, 2H), 4.23–4.78 (m, 2H), 5.06 (br.s, 1H), 5.35 (s, 1H), 7.15–7.40 (m, 9H)
20	4-chloro-phenyl	62	1.23–1.29 (m, 1H), 1.54–1.72 (m, 3H), 2.73–2.79 (m, 1H, α -H), 3.08–3.29 (m, 2H), 4.44–4.81 (m, 3H), 5.05 (d, 0.5H, J=6.4), 5.29 (d.d, 0.5H, J=3.4, 6.4), 7.13–7.36 (m, 9H)
21	2,4-dichloro-phenyl	40	1.36–1.73 (m, 4H), 2.85–2.90 (m, 1H), 3.08–3.10 (m, 2H), 4.30 (d, 1H, J=5.37), 4.48 (d, 1H, J=14.7), 4.56 (d, 1H, J=14.7), 5.76 (d.d, 1H, J=3.4, 5.4), 7.15–7.26 (m, 7H), 7.50 (d, 1H, J=8.3)

22	3,4-dichloro-phenyl	46	1.28–1.37 (m, 1H), 1.49–1.68 (m, 3H), 2.19 (s, 0.5H), 2.47–2.80 (m, 1H), 3.10–3.24 (m, 2H), 4.53 (d, 1H, J=14.7), 4.67–4.76 (m, 1.5H), 5.01 (d, 0.5H, J=6.4), 5.27 (d.d, 0.5H, J=3.4, 5.9), 7.11–7.52 (m, 8H)
23	4-nitrophenyl	72	1.39–1.50 (m, 1H), 1.53–1.61 (m, 2H), 1.68–1.73 (m, 1H), 2.73–2.75 (m, 1H), 3.03–3.17 (m, 3.5H), 4.37–4.58 (m, 3.5H), 5.00 (d, 0.5H, J=6.4), 5.42 (d.d, 0.5H, J=2.9, 5.9), 7.07–7.30 (m, 5H), 7.39–7.51 (m, 2H), 8.05–8.12 (m, 2H)
24	4-trifluoro-methylphenyl	77	1.51–1.80 (m, 4H), 2.82–2.88 (m, 1H), 3.06–3.25 (m, 2H), 4.46 (d, 1H, J=14.7), 4.70 (d, 1H, J=14.7), 4.85 (d, 1H, J=6.8), 5.36 (d.d, 1H, J=3.9, 6.8), 7.15–7.61 (m, 9H)
25	2-pyridyl	69	1.23–1.89 (m, 4H), 2.74–2.80 (m, 1H), 2.96–3.05 (m, 2H), 4.41 (d, 1H, J=14.7), 4.54 (d, 1H, J=14.7), 5.00 (d, 1H, J=3.2), 5.88 (br.s, 1H), 7.04–7.21 (m, 6H), 7.32–7.34 (m, 1H), 7.52–7.53 (m, 1H), 7.50–7.54 (m, 1H), 8.37–8.41 (m, 1H)
26	3-pyridyl	81	1.11–1.22 (m, 1H), 1.43–1.67 (m, 3H), 2.47–2.64 (m, 1H), 3.02–3.09 (m, 2H), 4.40–4.57 (m, 2H), 4.79 (d, 0.25H, J=9.3), 5.41 (d, 0.75H, J=2.4), 5.75 (br.s, 0.25H), 6.61 (br.s, 0.75H), 7.06–7.24 (m, 6H), 7.64–7.68 (m, 1H), 8.33–8.51 (m, 2H)
27	4-pyridyl	79	1.23–1.80 (m, 4H), 2.71–2.76 (m, 1H), 3.15–3.18 (m, 2H), 4.52 (d, 1H, J=15.4), 4.60 (d, 1H, J=15.4), 4.92 (d, 0.25H, J=8.3), 5.53 (d, 0.75H, J=8.3), 5.57 (br.s, 0.75H), 6.62 (br.s, 0.25H), 7.04–7.21 (m, 6H), 7.14–7.35 (m, 7H), 8.44–8.531 (m, 2H)
28	2-quinolyl	74	1.33–1.47 (m, 1H), 1.57–1.71 (m, 1H), 1.73–1.88 (m, 2H), 2.98–3.09 (m, 1H), 3.10–3.29 (m, 2H), 4.50–4.35 (m, 2H), 5.37 (d, 0.5H, J=7.3), 5.46 (d, 0.5H, J=5.4), 5.73 (br.s, 0.5H), 6.20 (br.s, 0.5H), 7.23–7.36 (m, 2H), 7.79–7.84 (m, 1H), 8.02–8.17 (m, 2H)
29	3-quinolyl	89	1.57–1.78 (m, 5H), 2.98–3.14 (m, 3H), 4.45 (d, 1H, J=14.7), 4.72 (d, 1H, J=14.7), 5.19 (d, 0.5H, J=6.8), 5.50 (d.d, 0.5H, J=3.4, 6.8), 7.07–7.26 (m, 5H), 7.55–7.59 (m, 1H), 7.83 (d, 1H, J=8.3), 8.11 (d, 1H, J=8.3), 8.24 (d, 1H, J=1.95), 8.86 (d, 1H, J=1.95)
30	4-quinolyl	62	1.23–1.25 (m, 1H), 1.48–1.66 (m, 1H), 1.75–1.78 (m, 1H), 1.82–1.93 (m, 1H), 2.23 (br.s, 0.5H), 2.84–2.89 (m, 1H), 3.11–3.25 (m, 2H), 4.52 (d, 1H, J=15.1), 4.59 (d, 1H, J=15.1), 4.78 (d, 0.5H, J=14.2), 4.80 (br.s, 0.5H), 5.53 (d, 0.5H, J=9.3), 7.20–7.38 (m, 5H), 7.51–7.57 (m, 1H), 7.66–7.73 (m, 2H), 8.06 (d, 1H, J=8.3), 8.13 (d, 1H, J=7.8), 8.87 (d, 1H, J=4.4)

fluorescent light). After 7 days of incubation, the length of the primary roots was measured and the emergence of the visible lateral roots was inspected. The growth rates were calculated as percentages of the averaged lengths of primary roots of treated plants to those of controls (deionized water). A primary root was considered responsive when it contained at least one lateral root. In controls the percentage of emerged lateral roots was less than 2%.

RESULTS AND DISCUSSION

Standard benzylation of 2-piperidone with benzyl bromide gave *N*-benzyl-2-piperidone (**I**) in a 99% yield (Fig. 1). The aldol condensation of the lithium enolate derived from **I** with the appropriate aldehyde afforded aldol adduct (**II**) which was formed as a mixture of diastereomeric isomers (*threo* and *erythro*). Since some of these isomers were inseparable by silica gel column chromatography, the biological activities were evaluated for a mixture of isomers. The NMR spectra for all of the synthesized compounds were in agreement with the assigned structures (Table 1).

Table 2 shows the effects of a series of *N*-benzyl-3-substituted-2-piperidones on the growth of lettuce seedlings. Most of the compounds inhibited the growth of primary root at 100 ppm, but did not show any clear inhibition at 10 ppm. Unlike FPIQ, an auxin transport inhibitor, none of the compounds prevented the hypocotyl growth at low concentrations (data not shown).

In the lettuce seedlings treated with some of these compounds, the emergence of lateral roots was observed (Fig. 2). The analogs with an alkyl chain such as an isopentyl (**2**) or hexyl (**3**) group exhibited high lateral root-inducing activity, and had some activity even at 1 ppm. The activity was found to decrease with shortening of the carbon chain (compound **1**) and the cyclohexyl analog **4** showed lower activity than the hexyl analog **3**. In seedlings treated with 100 ppm of the phenyl analog **5**, all of the primary roots formed lateral roots, but it was not observed at a lower concentration of 10 ppm. Both the 1- and 2-naphthyl analogs (**6** and **7**) showed comparatively low lateral root-inducing activity. The cinnamyl analog **8** had little or no effect on the primary root growth and the emergence of lateral roots. The introduction of a methyl substituent into the phenyl group (**9–11**) increased the activity compared with that of the phenyl analog **5**, showing some activity at 10 and 1 ppm. The 4-tolyl analog **11** showed activity comparable to that of the hexyl analog **3**. There was little difference in lateral root-inducing activity between the phenyl analog **5** and the 2- and 4-methoxyphenyl analogs (**12** and **14**), while the 3-methoxyphenyl analog **13** gave much lower activity. The 2,4-dimethoxyphenyl analog **15** was inactive even at 100 ppm. In contrast to the 4-methoxyphenyl analog **14**, the introduction of a phenoxy substituent (**16**) into the phenyl group gave analog with negligible activity, indicating that the size of the substituent at the *para* position on the benzene ring plays an important role for activity. The methylenedioxyphenyl analog **17** showed moderate activity. The introduction of a chlorine atom at the *para* position on the benzene ring (**20**) increased activity in comparison with that of unsubstituted phenyl analog **5**. The 3-chloro- and 3,4-dichlorophenyl analogs (**19** and **22**) were as active as compound **5**, while the 2-chloro- and 2,4-dichlorophenyl analogs (**18** and **21**) had very low activity. The 4-nitrophenyl analog **23** showed higher activity than compound **5**,

Table 2. Effects of *N*-benzyl-3-substituted-2-piperidones on root growth of lettuce seedlings

No.	Growth rate of primary root (% of control)			Emergence of lateral root (%)		
	100	10	1 (ppm)	100	10	1 (ppm)
1	63	103	104	81	9	10
2	63	103	104	100	31	15
3	94	104	113	100	31	16
4	57	93	102	75	18	4
5	99	100	100	100	0	0
6	82	91	97	35	18	0
7	82	106	101	36	20	0
8	88	112	93	3	0	0
9	51	104	101	71	9	11
10	51	105	104	88	18	8
11	38	97	106	98	28	20
12	49	100	94	100	0	0
13	73	99	94	23	0	0
14	32	99	93	100	0	0
15	82	84	102	0	0	0
16	74	96	99	0	0	0
17	28	75	95	100	14	0
18	44	107	98	14	0	0
19	55	108	121	100	0	0
20	39	97	105	100	50	0
21	81	99	96	27	0	0
22	64	115	97	93	6	0
23	25	90	97	100	21	24
24	50	100	92	53	0	0
25	48	105	109	100	0	0
26	31	101	101	0	0	0
27	25	94	90	0	0	0
28	20	82	98	100	51	0
29	29	117	119	100	28	8
30	26	123	116	100	59	29

however, the trifluoromethyl analog **24** with an electron-withdrawing substituent showed much less activity.

The benzene moiety at the 3 position of the 2-piperidone ring was replaced with a heterocycle such as a pyridine and quinoline ring (**25–30**). In the pyridine series, only the 2-pyridine analog **25** showed activity comparable to that of the phenyl analog **5**, while the 3- and 4-pyridine analogs (**26** and **27**) did not induce lateral roots even at 100 ppm. It is noteworthy that the introduction of an quinoline ring irrespective of the position of a nitrogen atom provided highly effective compounds (**28–30**). Thus *N*-benzyl-3-[1-hydroxy-1-(4-quinolyl)methyl]-2-piperidone (**30**) was the most active of the analogs tested on the lettuce seedlings.

At 100 ppm, compounds **2**, **12**, **14**, **17**, **19**, **20**, **23**, **25**, and **28–30** induced 100%

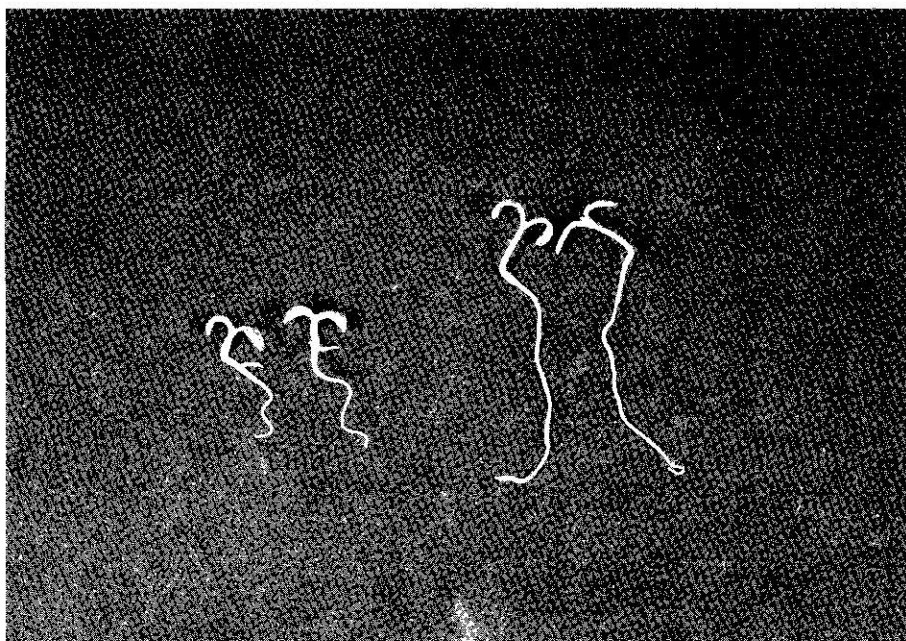


Fig. 2. Representative samples of lettuce seedlings after treatment for 7 days with deionized water (right) and 100 ppm of compound **30** (left)

emergence of lateral roots, which was coupled with the inhibition of primary root growth, while the hexyl (**3**) and phenyl (**5**) analogs at the concentrations causing lateral roots had little effect on the growth of primary root.

The formation of lateral root is interesting from a developmental point of view because it involves the initiation and emergence of several new meristematic areas in the primary root. Wightman *et al.* (1980) have reported that the initiation and development of lateral roots in primary root are mainly controlled by auxins and cytokinins; auxins promote the initiation of lateral root primordia and cytokinins inhibit both the initiation and the emergence of lateral roots. However, the interacting effects of auxins and cytokinins in the regulation of lateral root formation have not been examined in detail. The *N*-benzyl-3-substituted-2-piperidones described in this article might be a valuable probe for elucidating the formation of lateral root and represent a reasonable lead for the development of new plant growth regulators. Further studies on this series of compounds are in progress.

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